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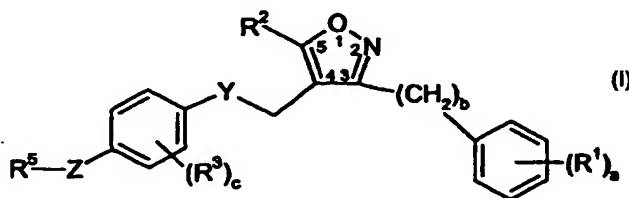
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- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: FARNESOID X RECEPTOR AGONISTS



(57) Abstract: The invention relates to a compound of formula (I) useful as an agonist for FXR: wherein all variables are as defined herein and to pharmaceutical compositions, methods of using, and processes for preparing the same.

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INTERNATIONAL SEARCH REPORT

International Application No

PCT/US 03/35808

A. CLASSIFICATION OF SUBJECT MATTER
IPC 7 C07D261/08 C07D413/12 A61K31/42 A61P3/06

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 7 C07D A61K A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the International search (name of data base and, where practical, search terms used)
EPO-Internal, WPI Data, MEDLINE, EMBASE, BIOSIS, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
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X	WO 00/37077 A (GLAXO GROUP LTD ;BLANCHARD STEVEN GERARD (US); PARKS DEREK J (US);) 29 June 2000 (2000-06-29) cited in the application claims 9,10; example 5	1-40
P,X	WO 03/015771 A (GIEGRICH KRISTINA ;BAUER ULRIKE (DE); DEUSCHLE ULRICH (DE); KOGL M) 27 February 2003 (2003-02-27) claims 1,4,14,15; table 1	1-40

☐ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

* Special categories of cited documents :

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- *P* document published prior to the international filing date but later than the priority date claimed

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- *Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- *G* document member of the same patent family

Date of the actual completion of the international search

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INTERNATIONAL SEARCH REPORT

International application No.
PCT/US 03/35808

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:

Although claims 15-21 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
2. ☐ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/US 03/35808

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
WO 0037077	A	29-06-2000	AU 1229000 A	15-05-2000
			AU 2389100 A	12-07-2000
			CA 2356887 A1	29-06-2000
			EP 1140079 A1	10-10-2001
			EP 1137940 A1	04-10-2001
			JP 2002528721 T	03-09-2002
			JP 2002532729 T	02-10-2002
			WO 0025134 A1	04-05-2000
			WO 0037077 A1	29-06-2000
			US 2004048316 A1	11-03-2004
			US 6639078 B1	28-10-2003
WO 03015771	A	27-02-2003	EP 1285914 A1	26-02-2003
			WO 03016280 A1	27-02-2003
			WO 03016288 A1	27-02-2003
			WO 03015771 A1	27-02-2003
			WO 03015777 A1	27-02-2003
			US 2003187042 A1	02-10-2003
			US 2003149087 A1	07-08-2003
			US 2003130296 A1	10-07-2003